

**WHAT IS CLAIMED IS:**

1 1. A system for averting undesirable pharmacokinetic drug interaction  
2 between a drug and concomitant drug(s), which comprises controlling the *in vivo* release time  
3 and/or release site of the drug and/or the concomitant drug(s).

1 2. A system for averting undesirable drug interaction between a drug and  
2 concomitant drug(s), both of which use the same route in terms of *in vivo* drug absorption,  
3 distribution, metabolism or excretion in humans, which comprises controlling the *in vivo*  
4 release time and/or release site of the drug and/or the concomitant drug(s).

1 3. A system for averting undesirable drug interaction between a drug and  
2 concomitant drug(s), both of which are metabolized by the same molecular species of drug-  
3 metabolizing enzyme in humans, or between a drug and concomitant drug(s) that is  
4 metabolized by the molecular species of drug-metabolizing enzymes that is inhibited by the  
5 said drug, which comprises timed-release control of the said drug or control of the site of  
6 release of the said drug to the digestive tract.

1 4. A system for averting undesirable drug interaction between a drug and  
2 concomitant drug(s), both of which metabolized by the drug metabolizing enzyme CYP3A4,  
3 or between a drug that inhibits CYP3A4 and concomitant drug(s) that is metabolized by  
4 CYP3A4, which comprises timed-release control of the said drug or controlling release  
5 specifically in the lower digestive tract of the said drug.

1 5. A drug preparation for averting undesirable pharmacokinetic drug  
2 interaction between a drug and concomitant drug(s), which comprises controlling the *in vivo*  
3 release time and/or release site of the said drug.

1 6. A drug preparation for averting undesirable drug interaction between a  
2 drug and concomitant drug(s), both of which use the same route in terms of *in vivo* drug  
3 absorption, distribution, metabolism or excretion in humans, which comprises controlling the  
4 *in vivo* release time and/or release site of the said drug.

1 7. A drug preparation for averting undesirable drug interaction on the *in*  
2 *vivo* kinetics of a drug by concomitant drug(s) that inhibits *in vivo* metabolism of the said  
3 drug in humans, which comprises timed-release control of the concomitant drug or control of  
4 the site of release of the concomitant drug to the digestive tract.



1 8. A drug preparation for averting undesirable effects on the blood  
2 concentration of a drug by concomitant drug(s) that inhibits the *in vivo* metabolism of the  
3 said drug by CYP3A4 in humans, which comprises timed release control of the said drug or  
4 controlling release specifically in the lower digestive tract of the concomitant drug.

1 9. The drug preparation according to Claim 8, whereby the said drug and  
2 the concomitant drug are a combination selected from anfentanyl, fentanyl, sulfentanyl,  
3 cocaine, dihydrocodeine, oxycodone, tramadol, erythromycin, clarithromycin,  
4 troleandomycin, azithromycin, itraconazole, ketoconazole, dapsone, midazolam, triazolam,  
5 alprazolam, diazepam, zolpidem, felodipine, nifedipine, nitrendipine, amlodipine, isradipine,  
6 nicardipine, nimodipine, nisoldipine, nildipine, bepridil, diltiazem, verapamil, astemizole,  
7 terfenadine, loratidine, cyclosporine, tacrolimus, rapamycin, amiodarone, disopyramide,  
8 lidocaine, propafenone, quinidine, imipramine, amitriptyline, clomipramine, nafazodone,  
9 sertraline, trazodone, haloperidol, pimozide, carbamazepine, ethosuximide, trimethadione,  
10 simvastatin, lovastatin, fluvastatin, atorvastatin, etoposide, ifosfamide, paclitaxel, tamoxifen,  
11 taxol, vinblastine, vincristine, indinavir, ritonavir, saquinavir, testosterone, prednisolone,  
12 methylprednisolone, dexamethasone, proguanil, warfarin, finasteride, flutamide, ondanteron,  
13 zatsetrone, cisapride, cortisol, zonisamide, desmethyldiazepam, and conivaptan.

1 10. A method for averting undesirable pharmacokinetic drug interaction  
2 between a drug and concomitant drug(s), comprising administering to patients a drug  
3 preparation with which the *in vivo* release time and/or release site of the said drug is  
4 controlled.

1 11. A method for averting undesirable drug-interaction between a drug and  
2 concomitant drug, both of which use the same route in terms of *in vivo* drug absorption,  
3 distribution, metabolism or excretion in humans, comprising administering to patients a drug  
4 preparation with which the *in vivo* release time and/or release site of the said drug is  
5 controllable.

1 12. A method for averting undesirable drug-interaction on the *in vivo*  
2 kinetics of a drug by concomitant drug that inhibits the *in vivo* metabolism of the said drug by  
3 drug-metabolizing enzymes in humans, comprising administering to patients a drug  
4 preparation with which timed-release of the concomitant drug or release site of the  
5 concomitant drug to the digestive tract is controllable.



1 13. A method for averting undesirable effects on the blood concentration  
2 of a drug by concomitant drug that inhibits the *in vivo* metabolism of the said drug by  
3 CYP3A4, comprising administering to patients a drug preparation with which timed-release  
4 of the concomitant drug or release of the concomitant drug specifically to the lower digestive  
5 tract is controllable.

1 14. The method according to Claim 13, whereby the said drug and the  
2 concomitant drug are a combination selected from anfentanyl, fentanyl, sulfentanyl, cocaine,  
3 dihydrocodeine, oxycodone, tramadol, erythromycin, clarithromycin, troleandomycin,  
4 azithromycin, itraconazole, ketoconazole, dapsone, midazolam, triazolam, alprazolam,  
5 diazepam, zolpidem, felodipine, nifedipine, nitrendipine, amlodipine, isradipine, nicardipine,  
6 nimodipine, nisoldipine, nildipine, bepridil, diltiazem, verapamil, astemizole, terfenadine,  
7 loratidine, cyclosporine, tacrolimus, rapamycin, amiodarone, disopyramide, lidocaine,  
8 propafenone, quinidine, imipramine, amitriptyline, clomipramine, nefazodone, sertraline,  
9 trazodone, haloperidol, pimozide, carbamazepine, ethosuximide, trimethadione, simvastatin,  
10 lovastatin, fluvastatin, atrovastatin, etoposide, ifosfamide, paclitaxel, tamoxifen, taxol,  
11 vinblastine, vincristine, indinavir, ritonavir, saquinavir, testosterone, prednisolone,  
12 methylprednisolone, dexamethasone, proguanil, warfarin, finasteride, flutamide, ondanteron,  
13 zatsetrone, cisapride, cortisol, zonisamide, desmethyldiazepam, and conivaptan.

1 15. A system for averting undesirable pharmacokinetic interaction between  
2 a drug and food(s), which comprises controlling the *in vivo* release time and/or release site of  
3 the drug.

add  
A'

add  
B'

add  
C2